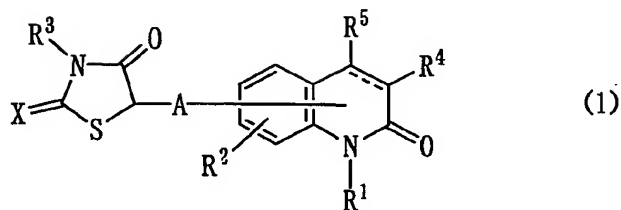


-434-

## CLAIMS

1. A carbostyryl compound represented by General Formula (1)

5



or a salt thereof,

wherein A is a direct bond, a lower alkylene group,  
10 or a lower alkylidene group;

X is an oxygen atom or a sulfur atom;

the bond between the 3 and 4 positions of the carbostyryl skeleton is a single bond or a double bond;

R⁴ and R⁵ each represent a hydrogen atom, with the  
15 proviso that when the bond between the 3 and 4 positions of the carbostyryl skeleton is a double bond, R⁴ and R⁵ instead may be linked together in the form of a -CH=CH-CH=CH- group;

R¹ is one of the following (1-1) to (1-29):

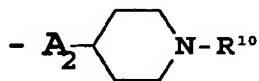
(1-1) a hydrogen atom,

20 (1-2) a lower alkyl group,

(1-3) a phenyl lower alkyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of a phenyl group, lower alkyl groups, lower alkoxy groups, halogen atoms, -(B), NR⁶R⁷ groups, a nitro group,  
25 a carboxy group, lower alkoxycarbonyl groups, a cyano group, phenyl lower alkoxy groups, a phenoxy group, a piperidinyl lower alkoxycarbonyl groups, amino lower alkoxycarbonyl groups optionally substituted with one or more cycloalkyl groups, 2-imidazolinyldicarbonyl groups optionally substituted  
30 on the 2-imidazoline ring with one or more lower alkylthio groups, 3-pyrrolinyldicarbonyl groups optionally substituted on

-435-

- the 3-pyrroline ring with one or more lower alkyl groups, thiazolidinylcarbonyl groups optionally substituted on the thiazolidine ring with a phenyl group, 3-azabicyclo[3.2.2]nonylcarbonyl groups, piperidinyl lower
- 5 alkyl groups, anilino lower alkyl groups optionally substituted on the amino group with one or more lower alkyl groups, phenylthio lower alkyl groups, indolinyl lower alkyl groups, and piperidinylcarbonyl groups optionally substituted on the piperidine ring with one or more lower alkyl groups,
- 10 (1-4) a cycloalkyl lower alkyl group,  
 (1-5) a phenoxy lower alkyl group,  
 (1-6) a naphthyl lower alkyl group,  
 (1-7) a lower alkoxy lower alkyl group,  
 (1-8) a carboxy lower alkyl group,
- 15 (1-9) a lower alkoxycarbonyl lower alkyl group,  
 (1-10) a pyridyl lower alkyl group optionally substituted on the pyridine ring with one or more members selected from the group consisting of halogen atoms; piperidinyl groups; a morpholino group; piperazinyl groups optionally substituted
- 20 on the piperazine ring with one or more members selected from the group consisting of a phenyl group and lower alkyl group; thienyl groups; a phenyl group; pyridyl groups; piperidinyl lower alkyl groups; phenylthio lower alkyl groups; biphenyl groups; lower alkyl groups optionally substituted with one or
- 25 more halogen atoms; pyridylamino groups; pyridylcarbonylamino groups; lower alkoxy groups; anilino lower alkyl groups optionally substituted on the amino group with one or more lower alkyl groups; and anilino groups optionally substituted on the amino group with one or more lower alkyl groups,
- 30 (1-11) a cyano lower alkyl group,  
 (1-12) an  $-A_1-\text{CONR}^8\text{R}^9$  group,  
 (1-13) a group of the following formula



-436-

- (1-14) a phenyl group ,  
(1-15) a quinolyl lower alkyl group,  
(1-16) a lower alkoxy lower alkoxy-substituted lower alkyl  
5 group ,  
(1-17) a hydroxy-substituted lower alkyl group,  
(1-18) a thiazolyl lower alkyl group optionally substituted  
on the thiazole ring with one or more members selected from  
the group consisting of halogen atoms, a phenyl group,  
10 thienyl groups, and pyridyl groups,  
(1-19) a lower alkyl group optionally substituted with one or  
more halogen atoms ,  
(1-20) a lower alkylsilyloxy lower alkyl group,  
(1-21) a phenoxy lower alkyl group optionally substituted on  
15 the phenyl ring with one or more members selected from the  
group consisting of lower alkyl groups optionally substituted  
with one or more halogen atoms; lower alkoxy groups; halogen  
atoms; lower alkenyl groups; cycloalkyl groups; a nitro  
group; and a phenyl group,  
20 (1-22) a phenylthio lower alkyl group optionally substituted  
on the phenyl ring with one or more halogen atoms,  
(1-23) a piperidinyl lower alkyl groups optionally  
substituted on the piperidine ring with one or more members  
selected from the group consisting of phenyl lower alkyl  
25 groups and a phenyl group,  
(1-24) a piperazinyl lower alkyl group optionally substituted  
on the piperazine ring with one or more phenyl groups,  
(1-25) a 1,2,3,4-tetrahydroisoquinolyl lower alkyl group,  
(1-26) a naphthyloxy lower alkyl group,  
30 (1-27) a benzothiazolyloxy lower alkyl group optionally  
substituted on the benzothiazole ring with one or more alkyl  
groups ,  
(1-28) a lower alkyl group substituted with one or more  
members selected from the group consisting of quinolyloxy  
35 groups and isoquinolyloxy groups,

-437-

(1-29) a pyridyloxy lower alkyl group optionally substituted on the pyridine ring with one or more lower alkyl groups;

$R^2$  is one of the following (2-1) to (2-33):

- (2-1) a hydrogen atom,
- 5 (2-2) a lower alkoxy group,
- (2-3) a lower alkyl group,
- (2-4) a carboxy lower alkoxy group,
- (2-5) a lower alkoxycarbonyl lower alkoxy group,
- (2-6) a hydroxy group,
- 10 (2-7) a phenyl lower alkoxy group optionally substituted on the phenyl ring with one or more members selected from the group consisting of halogen atoms; lower alkyl groups optionally substituted with one or more halogen atoms; lower alkylthio groups optionally substituted with one or more
- 15 halogen atoms; lower alkoxy groups; a nitro group; lower alkylsulfonyl groups; lower alkoxycarbonyl groups; phenyl lower alkenyl groups; lower alkanoyloxy groups; and 1,2,3-thiadiazolyl groups,
- (2-8) a piperidinyl lower alkoxy group optionally substituted
- 20 on the piperidine ring with one or more lower alkyl groups,
- (2-9) an amino-substituted lower alkoxy group optionally substituted with one or more lower alkyl groups,
- (2-10) a lower alkenyloxy group,
- (2-11) a pyridyl lower alkoxy group optionally substituted on
- 25 the pyridine ring with one or more lower alkyl groups, each lower alkyl substituent optionally being substituted with one or more halogen atoms,
- (2-12) a lower alkynyloxy group,
- (2-13) a phenyl lower alkynyloxy group,
- 30 (2-14) a phenyl lower alkenyloxy group,
- (2-15) a furyl lower alkoxy group optionally substituted on the furan ring with one or more lower alkoxycarbonyl groups,
- (2-16) a tetrazolyl lower alkoxy group optionally substituted on the tetrazole ring with one member selected from the group
- 35 consisting of a phenyl group, phenyl lower alkyl groups, and

-438-

- cycloalkyl lower alkyl groups,
- (2-17) a 1,2,4-oxadiazolyl lower alkoxy group optionally substituted on the 1,2,4-oxadiazole ring with a phenyl group, the phenyl substituent optionally being substituted on the
- 5 phenyl ring with one or more lower alkyl groups,
- (2-18) an isoxazolyl lower alkoxy group optionally substituted on the isoxazole ring with one or more lower alkyl groups,
- (2-19) a 1,3,4-oxadiazolyl lower alkoxy group optionally
- 10 substituted on the 1,3,4-oxadiazole ring with a phenyl group, the phenyl substituent optionally being substituted on the phenyl ring with one or more lower alkyl groups,
- (2-20) a lower alkanoyl lower alkoxy group,
- (2-21) a thiazolyl lower alkoxy group optionally substituted
- 15 on the thiazole ring with one or more members selected from the group consisting of lower alkyl groups and a phenyl group, each phenyl substituent optionally being substituted on the phenyl ring with one or more halogen atoms,
- (2-22) a piperidinyloxy group optionally substituted on the
- 20 piperidine ring with one or more benzoyl groups, each benzoyl substituent optionally being substituted on the phenyl ring with one or more halogen atoms,
- (2-23) a thienyl lower alkoxy group,
- (2-24) a phenylthio lower alkoxy group,
- 25 (2-25) a carbamoyl-substituted lower alkoxy group optionally substituted with one or more lower alkyl groups,
- (2-26) a benzoyl lower alkoxy group,
- (2-27) a pyridylcarbonyl lower alkoxy group,
- (2-28) an imidazolyl lower alkoxy group optionally
- 30 substituted on the imidazole ring with one or more phenyl lower alkyl groups,
- (2-29) a phenoxy lower alkoxy group,
- (2-30) a phenyl lower alkoxy-substituted lower alkoxy group,
- (2-31) a 2,3-dihydro-1H-indenyloxy group,
- 35 (2-32) an isoindolinyl lower alkoxy group optionally

-439-

substituted on the isoindoline ring with one or more oxo groups,

(2-33) a phenyl group;

$R^3$  is one of the following (3-1) to (3-19):

- 5 (3-1) a hydrogen atom,  
(3-2) a lower alkyl group,  
(3-3) a hydroxy-substituted lower alkyl group,  
(3-4) a cycloalkyl lower alkyl group,  
(3-5) a carboxy lower alkyl group,  
10 (3-6) a lower alkoxy carbonyl lower alkyl group,  
(3-7) a phenyl lower alkyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of halogen atoms; lower alkyl groups optionally substituted with one or more halogen atoms; lower  
15 alkoxy groups optionally substituted with one or more halogen atoms; a phenyl group; lower alkoxy carbonyl groups; a phenoxy group; lower alkylthio groups; lower alkylsulfonyl groups; phenyl lower alkoxy groups; and amino groups optionally substituted with one or more lower alkanoyl groups,  
20 (3-8) a naphthyl lower alkyl group,  
(3-9) a furyl lower alkyl group optionally substituted on the furan ring with one or more lower alkoxy carbonyl groups,  
(3-10) a thiazolyl lower alkyl group optionally substituted on the thiazole ring with one or more members selected from  
25 the group consisting of lower alkyl groups and a phenyl group, each phenyl substituent optionally being substituted on the phenyl ring with one or more optionally halogen-substituted lower alkyl groups,  
(3-11) a tetrazolyl lower alkyl group optionally substituted  
30 on the tetrazole ring with one or more lower alkyl groups,  
(3-12) a benzothienyl lower alkyl group optionally substituted on the benzothiophene ring with one or more halogen atoms,  
(3-13) a lower alkynyl group,  
35 (3-14) a lower alkenyl group,

-440-

- (3-15) a phenyl lower alkenyl group,  
(3-16) a benzoimidazolyl lower alkyl group,  
(3-17) a pyridyl lower alkyl group,  
(3-18) an imidazolyl lower alkyl group optionally substituted  
5 on the imidazole ring with one or more phenyl lower alkyl  
groups ,  
(3-19) a quinolyl lower alkyl group;  
B is a carbonyl group or an -NHCO- group;  
1 is 0 or 1 ;  
10 R<sup>6</sup> and R<sup>7</sup> each independently represent one of the  
following (4-1) to (4-79):  
(4-1) a hydrogen atom ,  
(4-2) a lower alkyl group,  
(4-3) a lower alkanoyl group,  
15 (4-4) a lower alkylsulfonyl group optionally substituted with  
one or more halogen atoms ,  
(4-5) an alkoxycarbonyl group optionally substituted with one  
or more halogen atoms,  
(4-6) a hydroxy- substituted lower alkyl group,  
20 (4-7) a pyridylcarbonyl group optionally substituted on the  
pyridine ring with one or more members selected from the  
group consisting of pyrrolyl groups and halogen atoms ,  
(4-8) a pyridyl group optionally substituted on the pyridine  
ring with one or more members selected from the group  
25 consisting of lower alkyl groups and lower alkoxy groups,  
(4-9) a pyridyl lower alkyl group,  
(4-10) a phenyl group optionally substituted on the phenyl  
ring with one or more members selected from the group  
consisting of halogen atoms; lower alkyl groups optionally  
30 substituted with one or more halogen atoms; a phenoxy group;  
lower alkoxy groups optionally substituted with one or more  
halogen atoms; lower alkylthio groups; lower alkylsulfonyl  
groups; amino groups optionally substituted with one or more  
members selected from the group consisting of lower alkyl  
35 groups and lower alkanoyl groups; pyrrolidinyl groups

-441-

- optionally substituted on the pyrrolidine ring with one or more oxo groups; piperidinyl groups optionally substituted on the piperidine ring with one or more lower alkyl groups; lower alkenyl groups; an aminosulfonyl group; a hydroxy group; carbamoyl groups optionally substituted with one or more lower alkyl groups; phenyl lower alkoxy groups; and a cyano group,
- (4-11) a cycloalkyl group optionally substituted on the cycloalkyl ring with one or more lower alkyl groups,
- 10 (4-12) a benzoyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of halogen atoms; a phenoxy group; a phenyl group; lower alkyl groups optionally substituted with one or more halogen atoms; lower alkoxy groups; lower alkanoyl groups; a
- 15 nitro group; a cyano group; amino groups optionally substituted with one or more members selected from the group consisting of a phenyl group and lower alkyl groups; pyrrolidinyl groups optionally substituted on the pyrrolidine ring with one or more oxo groups; pyrrolyl groups; pyrazolyl
- 20 groups; 1,2,4-triazolyl groups; and imidazolyl groups,
- (4-13) a benzoyl group substituted on the phenyl ring with one or more lower alkylenedioxy groups,
- (4-14) a cycloalkylcarbonyl group,
- (4-15) a furylcarbonyl group,
- 25 (4-16) a naphthylcarbonyl group,
- (4-17) a phenoxycarbonyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of lower alkoxy groups, lower alkyl groups, halogen atoms, and a nitro group,
- 30 (4-18) a phenyl lower alkoxy carbonyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of halogen atoms and a nitro group,
- (4-19) a piperidinyl group optionally substituted on the
- 35 piperidine ring with one or more members selected from the



-442-

- group consisting of lower alkyl groups; lower alkanoyl groups; benzoyl groups optionally substituted on the phenyl ring with one or more halogen atoms; and phenyl groups optionally substituted on the phenyl ring with one or more
- 5 halogen atoms,
- (4-20) a tetrahydropyranyl lower alkyl group,
- (4-21) a cycloalkyl lower alkyl group,
- (4-22) a lower alkenyl group,
- (4-23) a phenyl lower alkyl group optionally substituted on
- 10 the alkyl group with one or more lower alkoxy carbonyl groups; and optionally substituted on the phenyl ring with one or more members selected from the group consisting of halogen atoms, lower alkyl groups optionally substituted with one or more halogen atoms, lower alkoxy groups optionally
- 15 substituted with one or more halogen atoms, and a hydroxy group,
- (4-24) a lower alkylenedioxy-substituted phenyl lower alkyl group,
- (4-25) a furyl lower alkyl group,
- 20 (4-26) a carbamoyl lower alkyl group optionally substituted with one or more members selected from lower alkyl groups and a phenyl group, each phenyl substituent optionally being substituted on the phenyl ring with one or more lower alkyl groups,
- 25 (4-27) a lower alkoxy lower alkyl group,
- (4-28) an imidazolyl lower alkyl group optionally substituted on the lower alkyl group with one or more members selected from the group consisting of a carbamoyl group and lower alkoxy carbonyl groups,
- 30 (4-29) an amino-substituted lower alkyl group optionally substituted with one or more lower alkyl groups,
- (4-30) a 2,3,4,5-tetrahydrofuryl group optionally substituted on the 2,3,4,5-tetrahydrofuran ring with one or more oxo groups,
- 35 (4-31) a lower alkoxy carbonyl lower alkyl group.

-443-

- (4-32) a pyrrolidinyl lower alkyl group optionally substituted on the pyrrolidine ring with one or more lower alkyl groups,
- (4-33) a phenoxy lower alkanoyl group,
- 5 (4-34) a morpholino lower alkyl group,
- (4-35) a indolyl group,
- (4-36) a thiazolyl group,
- (4-37) a 1,2,4-triazolyl group,
- (4-38) a pyridyl lower alkanoyl group,
- 10 (4-39) a thienylcarbonyl group,
- (4-40) a thienyl lower alkanoyl group,
- (4-41) a cycloalkyl lower alkanoyl group,
- (4-42) an isoxazolyl carbonyl group optionally substituted on the isoxazole ring with one or more lower alkyl groups,
- 15 (4-43) a pyrazylcarbonyl group,
- (4-44) a piperidinylcarbonyl group optionally substituted on the piperidine ring with one or more members selected from a benzoyl group and lower alkanoyl groups,
- (4-45) a chromanylcarbonyl group,
- 20 (4-46) an isoindolinyl lower alkanoyl group optionally substituted on the isoindoline ring with one or more oxo groups,
- (4-47) a thiazolidinyl lower alkanoyl group optionally substituted on the thiazolidine ring with one or more members
- 25 selected from an oxo group and a thioxo group,
- (4-48) a piperidinyl lower alkanoyl group,
- (4-49) a phenyl lower alkenylcarbonyl group optionally substituted on the phenyl ring with one or more halogen atoms,
- (4-50) a phenyl lower alkenylcarbonyl group substituted on
- 30 the phenyl ring with one or more alkylendioxy groups,
- (4-51) a pyridyl lower alkenyl carbonyl group,
- (4-52) a pyridylthio lower alkanoyl group,
- (4-53) an indolylcarbonyl group,
- (4-54) a pyrrolylcarbonyl group,
- 35 (4-55) a pyrrolidinylcarbonyl group optionally substituted on

-444-

- the pyrrolidine ring with one or more oxo groups,  
(4-56) a benzofurylcarbonyl group,  
(4-57) an indolyl lower alkanoyl group,  
(4-58) a benzothienylcarbonyl group,  
5 (4-59) a phenyl lower alkanoyl group optionally substituted on the phenyl ring with one or more halogen atoms,  
(4-60) a phenylsulfonyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of lower alkoxy carbonyl groups; a cyano group; a  
10 nitro group; amino groups optionally substituted with one or more alkanoyl groups; a hydroxy group; a carboxyl group; lower alkoxy carbonyl lower alkyl groups; halogen atoms; lower alkyl groups optionally substituted with one or more halogen atoms; and lower alkoxy groups optionally substituted with  
15 one or more halogen atoms,  
(4-61) a thienylsulfonyl group optionally substituted on the thiophene ring with one or more members selected from the group consisting of halogen atoms and lower alkoxy carbonyl groups ,  
20 (4-62) a quinolylsulfonyl group,  
(4-63) an imidazolylsulfonyl group optionally substituted on the imidazole ring with one or more lower alkyl groups ,  
(4-64) a phenylsulfonyl group optionally substituted on the phenyl ring with one or more lower alkylenedioxy groups,  
25 (4-65) a lower alkenylsulfonyl group,  
(4-66) a cycloalkyl lower alkylsulfonyl group,  
(4-67) a 3,4-dihydro-2H-1,4-benzoxazinylsulfonyl group optionally substituted on the 3,4-dihydro-2H-1,4-benzoxazine ring with one or more lower alkyl groups,  
30 (4-68) a pyrazolylsulfonyl group optionally substituted on the pyrazole ring with one or more members selected from halogen atoms and lower alkyl groups,  
(4-69) an isoxazolylsulfonyl group optionally substituted on the isoxazole ring with one or more lower alkyl groups,  
35 (4-70) a thiazolylsulfonyl group optionally substituted on

-445-

the thiazole ring with one or more members selected from the group consisting of lower alkyl groups and an amino group, each amino substituent optionally being substituted with one or more alkanoyl groups,

- 5 (4-71) a phenyl lower alkylsulfonyl group,
  - (4-72) a phenyl lower alkenylsulfonyl group,
  - (4-73) a naphthyloxycarbonyl group,
  - (4-74) a lower alkynyloxycarbonyl group,
  - (4-75) a lower alkenyloxycarbonyl group,
  - 10 (4-76) a phenyl lower alkoxy-substituted lower alkoxy carbonyl group,
  - (4-77) a cycloalkyloxycarbonyl group optionally substituted on the cycloalkyl ring with one or more lower alkyl groups,
  - (4-78) a tetrazolyl group,
  - 15 (4-79) an isoxazolyl group optionally substituted on the isoxazole ring with one or more lower alkyl groups; or instead,
- R<sup>6</sup> and R<sup>7</sup> may be linked together to form, together with the nitrogen atom to which they are bound, a 1,2,3,4-
- 20 tetrahydroisoquinolyl group, an isoindolinyl group, or a 5- to 7-membered saturated heterocyclic group, the heterocyclic group optionally containing one or more additional heteroatoms and optionally being substituted with one to three members from the following (5-1) to (5-28):
- 25 (5-1) lower alkyl groups,
  - (5-2) lower alkoxy groups,
  - (5-3) an oxo group,
  - (5-4) a hydroxy group,
  - (5-5) pyridyl lower alkyl groups,
  - 30 (5-6) phenyl groups optionally substituted on the phenyl ring with one or more members selected from the group consisting of halogen atoms; lower alkoxy groups optionally substituted with one or more halogen atoms; lower alkyl groups optionally substituted with one or more halogen atoms; a cyano group;
  - 35 and a hydroxy group,

-446-

- (5-7) lower alkylenedioxy- substituted phenyl lower alkyl groups ,
- (5-8) phenyl lower alkyl groups optionally substituted on the phenyl ring with one or more halogen atoms,
- 5 (5-9) pyrimidyl groups,
- (5-10) pyrazyl groups,
- (5-11) cycloalkyl groups,
- (5-12) phenyl lower alkoxy groups optionally substituted on the phenyl ring with one or more halogen atoms,
- 10 (5-13) benzoyl groups optionally substituted on the phenyl ring with one or more halogen atoms,
- (5-14) benzoyl groups substituted on the phenyl ring with one or more lower alkylenedioxy groups,
- (5-15) carbamoyl lower alkyl groups optionally substituted
- 15 with one or more members selected from the group consisting of a phenyl group and lower alkyl groups,
- (5-16) benzoxazolyl groups,
- (5-17) lower alkoxycarbonyl groups,
- (5-18) a carbamoyl group,
- 20 (5-19) phenyl lower alkylidene groups optionally substituted on the phenyl ring with one or more halogen atoms,
- (5-20) phenyl lower alkoxycarbonyl groups,
- (5-21) pyridyl groups optionally substituted on the pyridine ring with one or more members selected from the group
- 25 consisting of a cyano group and lower alkyl groups,
- (5-22) furyl lower alkyl groups,
- (5-23) tetrahydropyranyl groups,
- (5-24) imidazolyl lower alkyl groups,
- (5-25) naphthyl groups,
- 30 (5-26) 2,3-dihydro-1H-indenyl groups,
- (5-27) 1,3-dioxolanyl lower alkyl groups,
- (5-28)  $-(A_3)_mNR^{11}R^{12}$  groups;
- $A_i$  is a lower alkylene group;
- $R^8$  and  $R^9$  each independently represent one of the
- 35 following (6-1) to (6-25):

-447-

- (6-1) a hydrogen atom,  
(6-2) a lower alkyl group,  
(6-3) a phenyl group optionally substituted on the phenyl ring with one or more members selected from the group
- 5 consisting of lower alkyl groups optionally substituted with one or more halogen atoms; lower alkylthio groups; lower alkoxy groups optionally substituted with one or more halogen atoms; halogen atoms; a phenyl group; lower alkylamino groups; a cyano group; a phenoxy group; cycloalkyl groups;
- 10 pyrrolidinyl groups optionally substituted with one or more oxo groups; 1,2,3,4-tetrahydroisoquinolylcarbonyl groups; 1,2,3,4-tetrahydroquinolylcarbonyl groups optionally substituted with one or more lower alkyl groups; 1,2,3,4-tetrahydroquinoxalinylcarbonyl groups optionally substituted
- 15 with one or more lower alkyl groups; thiazolyl groups optionally substituted with one or more phenyl groups; a carbamoyl group; phenyl lower alkoxy groups; lower alkylsulfonylamino groups; anilino groups optionally substituted with one or more halogen atoms; phenyl lower
- 20 alkyl groups; and hydroxy-substituted lower alkyl groups,  
(6-4) a cycloalkyl group,  
(6-5) a cycloalkyl lower alkyl group,  
(6-6) a carbamoyl lower alkyl group,  
(6-7) a phenyl lower alkyl group optionally substituted on
- 25 the phenyl ring with one or more members selected from the group consisting of lower alkyl groups optionally substituted with one or more halogen atoms; lower alkoxy groups optionally substituted with one or more halogen atoms; halogen atoms; and a phenyl group,
- 30 (6-8) lower alkyl-substituted amino lower alkyl group,  
(6-9) a naphthyl group,  
(6-10) a naphthyl lower alkyl group,  
(6-11) a tetrahydronaphthyl lower alkyl group,  
(6-12) a fluorenyl group,
- 35 (6-13) a pyridyl group.

-448-

- (6-14) a pyridyl lower alkyl group,  
(6-15) a pyrimidinyl group,  
(6-16) a pyrazinyl lower alkyl group optionally substituted  
on the pyrazine ring with one or more lower alkyl groups,  
5 (6-17) a thiazolyl group,  
(6-18) a pyrazolyl lower alkyl group optionally substituted  
on the pyrazole ring with one or more lower alkyl groups,  
(6-19) a thienyl lower alkyl group  
(6-20) a piperidinyl group optionally substituted on the  
10 piperidine ring with one or more members selected from the  
group consisting of lower alkyl groups; a benzoyl group; and  
phenyl lower alkyl groups optionally substituted on the  
phenyl ring with one or more members selected from the group  
consisting of halogen atoms and lower alkyl groups,  
15 (6-21) an indolyl group,  
(6-22) an indazolyl group,  
(6-23) a 3,4-dihydrocarbostyryl optionally substituted with  
one or more lower alkyl groups,  
(6-24) a quinolyl group optionally substituted with one or  
20 more lower alkyl groups,  
(6-25) a carbazolyl group optionally substituted with one or  
more lower alkyl groups; or
- R<sup>8</sup> and R<sup>9</sup> may be linked together to form, together  
with the nitrogen atom to which they are bound, a 5- to 8-  
25 membered saturated heterocyclic group optionally containing  
one or more additional heteroatoms and optionally substituted  
on the heterocyclic ring with one or more members selected  
from the group consisting of the following (6-28-1) to (6-28-  
24) :
- 30 (6-28-1) lower alkyl groups,  
(6-28-2) phenyl lower alkyl groups optionally substituted on  
the phenyl ring with one or more members selected from  
halogen atoms and lower alkoxy groups optionally substituted  
with one or more halogen atoms,  
35 (6-28-3) naphthyl lower alkyl groups,

-449-

- (6-28-4) phenyl lower alkylcarbamoyl lower alkyl groups,  
(6-28-5) phenylcarbamoyl lower alkyl groups,  
(6-28-6) phenyl lower alkoxy carbonyl groups ,  
(6-28-7) phenoxy lower alkyl groups optionally substituted on  
5 the phenyl ring with one or more members selected from the  
group consisting of halogen atoms and lower alkyl groups  
optionally substituted with one or more halogen atoms,  
(6-28-8) biphenyl groups,  
(6-28-9) phenyl groups optionally substituted on the phenyl  
10 ring with one or more halogen atoms,  
(6-28-10) 2,3-dihydroindenyl groups optionally substituted  
with one or more halogen atoms,  
(6-28-11) benzothiazolyl groups optionally substituted with  
one or more halogen atoms,  
15 (6-28-12) pyridyl groups optionally substituted with one or  
more halogen atoms,  
(6-28-13) benzothienyl groups,  
(6-28-14) benzoisothiazolyl groups,  
(6-28-15) thienopyridyl groups,  
20 (6-28-16) a carbamoyl group,  
(6-28-17) phenyl lower alkoxy groups optionally substituted  
on the phenyl ring with one or more halogen atoms,  
(6-28-18) phenoxy groups optionally substituted with one or  
more halogen atoms,  
25 (6-28-19) benzoyl groups optionally substituted on the phenyl  
ring with one or more members selected from halogen atoms and  
lower alkoxy groups ,  
(6-28-20) anilino groups optionally substituted on the phenyl  
ring with one or more lower alkyl groups, each lower alkyl  
30 substituent optionally being substituted with one or more  
halogen atoms,  
(6-28-21) anilino groups substituted on the amino group with  
one or more lower alkyl groups, and optionally further  
substituted on the phenyl ring with one or more halogen atoms,  
35 (6-28-22) benzofuryl groups,



-450-

(6-28-23) naphthyl groups,

(6-28-24) an oxo group; or

R<sup>8</sup> and R<sup>9</sup> may be linked together to form, together with the nitrogen atom to which they are bound, a 5- or 6-  
5 membered unsaturated heterocyclic group, the unsaturated heterocyclic group optionally being substituted on the heterocyclic ring with one or more members selected from the group consisting of the following (6-29-1) to (6-29-3):

(6-29-1) phenyl groups optionally substituted with one or  
10 more halogen atoms,

(6-29-2) 2,3-dihydroindenyl groups,

(6-29-3) benzothienyl groups; or instead,

R<sup>8</sup> and R<sup>9</sup> may be linked together to form, together with the nitrogen atom to which they are bound, a 1,2,3,4-  
15 tetrahydroquinolyl group; a 1,2,3,4-tetrahydroisoquinolyl group, a 1,3-dihydroisoindolyl group; an octahydropyrrolo[1,2-a]pyrazinyl group optionally substituted on the pyrazine ring with one or more lower alkyl groups; or an 8-azabicyclo[3.2.1]octyl group optionally substituted on  
20 the 8-azabicyclo[3.2.1]octyl group with one or more phenoxy groups, each phenoxy substituent optionally being substituted on the phenyl ring with one or more halogen atoms;

A<sub>2</sub> is a lower alkylene group;

R<sup>10</sup> is one of the following (7-1) to (7-44):

25 (7-1) a hydrogen atom,

(7-2) a lower alkyl group,

(7-3) an alkoxycarbonyl group optionally substituted with one or more halogen atoms,

(7-4) a benzoyl group optionally substituted on the phenyl  
30 ring with one or more members selected from the group consisting of lower alkyl groups optionally substituted with one or more halogen atoms; a phenyl group; halogen atoms; a cyano group; a phenoxy group; lower alkoxycarbonyl groups; pyrazolyl groups; and lower alkoxy groups optionally  
35 substituted with one or more halogen atoms.

-451-

- (7-5) an alkanoyl group,
- (7-6) a phenyl lower alkanoyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of halogen atoms and lower alkyl groups,
- 5 (7-7) a cycloalkyl lower alkanoyl group,
- (7-8) a phenyl group optionally substituted on the phenyl ring with one or more lower alkyl groups,
- (7-9) a phenoxy lower alkanoyl group optionally substituted on the phenyl ring with one or more halogen atoms,
- 10 (7-10) a phenyl lower alkenylcarbonyl group,
- (7-11) a pyridylcarbonyl group optionally substituted on the pyridine ring with one or more members selected from the group consisting of halogen atoms and lower alkyl groups, each lower alkyl substituent optionally being substituted
- 15 with one or more halogen atoms,
- (7-12) a furylcarbonyl group,
- (7-13) a thienylcarbonyl group,
- (7-14) a piperidinylcarbonyl group optionally substituted on the piperidine ring with one or more lower alkanoyl groups,
- 20 (7-15) a pyrrolidinylcarbonyl group optionally substituted on the pyrrolidine ring with one or more oxo groups ,
- (7-16) a tetrahydropyranylcarbonyl group,
- (7-17) a naphthylcarbonyl group,
- (7-18) an indolylcarbonyl group,
- 25 (7-19) a benzofurylcarbonyl group,
- (7-20) a benzothienylcarbonyl group optionally substituted on the benzothiophene ring with one or more halogen atoms,
- (7-21) a furyl lower alkyl group,
- (7-22) a pyridyl lower alkyl group optionally substituted on
- 30 the pyridine ring with one or more members selected from the group consisting of halogen atoms and lower alkyl groups, each lower alkyl substituent optionally being substituted with one or more halogen atoms,
- (7-23) a thienyl lower alkyl group optionally substituted on
- 35 the thiophene ring with one or more halogen atoms.

-452-

- (7-24) a phenyl lower alkyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of lower alkoxy groups optionally substituted with one or more halogen atoms; a cyano group;
- 5 lower alkyl groups optionally substituted with one or more halogen atoms; amino groups optionally substituted with one or more members selected from the group consisting of lower alkyl groups and lower alkanoyl groups; halogen atoms; lower alkoxycarbonyl groups; lower alkanoyloxy groups; lower
- 10 alkylsulfonyl groups; lower alkylthio groups; and pyrrolidinyl groups,
- (7-25) a thiazolyl lower alkyl group,
- (7-26) an imidazolyl lower alkyl group optionally substituted on the imidazole ring with one or more lower alkyl groups,
- 15 (7-27) a pyrrolyl lower alkyl group optionally substituted on the pyrrole ring with one or more lower alkyl groups,
- (7-28) a cycloalkyl lower alkyl group,
- (7-29) a lower alkylthio lower alkyl group,
- (7-30) a phenoxycarbonyl group optionally substituted on the
- 20 phenyl ring with one or more members selected from the group consisting of halogen atoms, lower alkyl groups, and lower alkoxy groups,
- (7-31) a phenyl lower alkoxycarbonyl group optionally substituted on the phenyl ring with one or more halogen atoms,
- 25 (7-32) a naphthyloxycarbonyl group,
- (7-33) a lower alkynyloxycarbonyl group,
- (7-34) a cycloalkylcarbonyl group,
- (7-35) a quinoxalinylcarbonyl group,
- (7-36) a  $-\text{CO}-\text{NR}^{13}\text{R}^{14}$  group,
- 30 (7-37) a piperidinyl group optionally substituted on the piperidine ring with one or more lower alkyl groups,
- (7-38) a cycloalkyl group,
- (7-39) a tetrahydropyranyl group,
- (7-40) a lower alkoxy lower alkyl group,
- 35 (7-41) a tetrahydro-2H-thiopyranyl group,

-453-

(7-42) a naphthyl group,

(7-43) a biphenyl group,

(7-44) a lower alkylsilyl lower alkoxycarbonyl group;

A<sup>3</sup> is a lower alkylene group;

5 m is 0 or 1;

R<sup>11</sup> and R<sup>12</sup> each independently represent one of the following (8-1) to (8-5):

(8-1) a hydrogen atom,

(8-2) a lower alkyl group,

10 (8-3) a lower alkanoyl group,

(8-4) a phenyl lower alkanoyl group,

(8-5) a phenyl group optionally substituted on the phenyl ring with one or more halogen atoms; or instead,

R<sup>11</sup> and R<sup>12</sup> may be linked together to form, together  
15 with the nitrogen atom to which they are bound, a 5- or 6-  
membered saturated heterocyclic group which optionally  
contains one or more additional heteroatoms, the heterocyclic  
group optionally being substituted with one to three members  
selected from the group consisting of the following (9-1) and  
20 (9-2):

(9-1) lower alkyl groups,

(9-2) a phenyl group; and

R<sup>13</sup> and R<sup>14</sup> each independently represent one of the following (10-1) to (10-3):

25 (10-1) a hydrogen atom,

(10-2) a lower alkyl group,

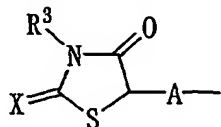
(10-3) a phenyl group, or instead

R<sup>13</sup> and R<sup>14</sup> may be linked together to form, together  
with the nitrogen atom to which they are bound, a 5- or 6-  
30 membered saturated heterocyclic group which optionally  
contains one or more additional heteroatoms.

2. A carbostyryl compound or a salt thereof  
according to Claim 1, wherein the bond between the 3 and 4  
positions of the carbostyryl skeleton is a single bond or a  
35 double bond, and R<sup>4</sup> and R<sup>5</sup> each represent a hydrogen atom.

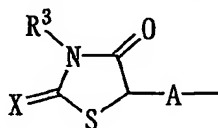
-454-

3. A carbostyryl compound or a salt thereof according to Claim 2, wherein a group of the formula



in which R<sup>3</sup>, A and X are as defined in Claim 1 above, is  
 5 bound to the 3, 4, 5, 6, 7 or 8 position of the carbostyryl skeleton.

4. A carbostyryl compound or a salt thereof according to Claim 3, wherein the bond between the 3 and 4 positions of the carbostyryl skeleton is a single bond, and  
 10 the group of the formula,

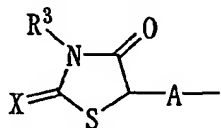


in which R<sup>3</sup>, A and X are as defined in Claim 1 above, is bound to the 5 or 6 position of the carbostyryl skeleton.

5. A carbostyryl compound or a salt thereof  
 15 according to Claim 3 or 4, wherein A is a lower alkylene group or a lower alkylidene group.

6. A carbostyryl compound or a salt thereof according to Claim 5, wherein R<sup>1</sup> is one of (1-2), (1-3), (1-4), (1-6), (1-10), (1-12), (1-13), (1-18) and (1-21) as  
 20 defined in Claim 1 above.

7. A carbostyryl compound or a salt thereof according to Claim 6, wherein the group of the formula



in which R<sup>3</sup>, A and X are as defined in Claim 1 above, is  
 25 bound to the 5 position of the carbostyryl skeleton.

8. A carbostyryl compound or a salt thereof according to Claim 7, wherein R<sup>1</sup> is a phenyl lower alkyl group optionally substituted on the phenyl ring with one or more members selected from the group consisting of a phenyl

-455-

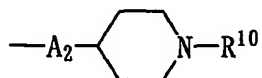
ring, halogen atoms,  $-(B)NR^6R^7$  groups wherein B,  $R^6$  and  $R^7$  are as defined in Claim 1, lower alkoxy carbonyl groups, and phenyl lower alkoxy groups.

9. A carbostyryl compound or a salt thereof  
 5 according to Claim 8, wherein A is a lower alkylene group,  $R^2$  is a hydrogen atom or a lower alkoxy group,  $R^3$  is a hydrogen atom, and X is an oxygen atom or a sulfur atom.

10. A carbostyryl compound or a salt thereof  
 according to Claim 7, wherein A is a lower alkylene group,  $R^1$  is a lower alkyl group,  $R^2$  is a hydrogen atom or a lower alkoxy group,  $R^3$  is a hydrogen atom, and X is an oxygen atom or a sulfur atom.

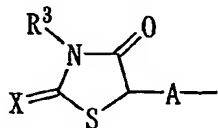
11. A carbostyryl compound or a salt thereof  
 according to Claim 7, wherein A is a lower alkylene group,  $R^1$  is a naphthyl lower alkyl group,  $R^2$  is a hydrogen atom or a lower alkoxy group,  $R^3$  is a hydrogen atom, and X is an oxygen atom or a sulfur atom.

12. A carbostyryl compound or a salt thereof  
 according to Claim 7, wherein A is a lower alkylene group,  $R^1$  is a group of the formula



in which  $R^{10}$  and  $A_2$  are as defined in Claim 1 above,  $R^2$  is a hydrogen atom or a lower alkoxy group,  $R^3$  is a hydrogen atom, and X is an oxygen atom or a sulfur atom.

13. A carbostyryl compound or a salt thereof  
 according to Claim 3, wherein the bond between the 3 and 4 positions of the carbostyryl skeleton is a double bond, and a group of the formula



in which  $R^3$ , A and X are as defined in Claim 1 above, is bound to the 3, 4 or 5 position of the carbostyryl skeleton.

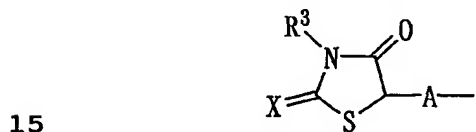
-456-

14. A carbostyryl compound or a salt thereof according to Claim 13, wherein  $R^1$  is one of (1-2) and (1-3) as defined in Claim 1.

5 15. A carbostyryl compound or a salt thereof according to Claim 14, wherein A is a lower alkylene group or a lower alkylidene group, and  $R^2$  is a hydrogen atom or a lower alkoxy group.

10 16. A carbostyryl compound or a salt thereof according to Claim 1, wherein the bond between the 3 and 4 positions of the carbostyryl skeleton is a double bond, and  $R^4$  and  $R^5$  are linked together in the form of a  $-\text{CH}=\text{CH}-\text{CH}=\text{CH}-$  group.

17. A carbostyryl compound or a salt thereof according to Claim 16, wherein a group of the formula



in which  $R^3$ , A and X are as defined in Claim 1 above, is bound to the 7 position of the carbostyryl skeleton.

20 18. A carbostyryl compound or a salt thereof according to Claim 17, wherein  $R^1$  is one of (1-2) and (1-3) as defined in Claim 1 above.

19. A carbostyryl compound or a salt thereof according to Claim 18, wherein A is a lower alkylene group or a lower alkylidene group,  $R^2$  and  $R^3$  are both hydrogen atoms, and X is an oxygen atom or a sulfur atom.

25 20. A carbostyryl compound or a salt thereof according to Claim 1, wherein A is a direct bond.

21. A carbostyryl compound or a salt thereof according to Claim 1, wherein A is a lower alkylene group.

30 22. A carbostyryl compound or a salt thereof according to Claim 1, wherein A is a lower alkylidene group.

23. A carbostyryl compound or a salt thereof according to any one of Claims 20 to 22, wherein the bond between the 3 and 4 positions of the carbostyryl skeleton is

-457-

a single bond or a double bond, and R<sup>4</sup> and R<sup>5</sup> each represent a hydrogen atom.

24. A carbostyryl compound or a salt thereof according to any one of Claims 20 to 22, wherein the bond  
 5 between the 3 and 4 positions of the carbostyryl skeleton is a double bond, and R<sup>4</sup> and R<sup>5</sup> are linked together in the form of a -CH=CH-CH=CH- group.

25. A carbostyryl compound selected from the group consisting of the following compounds:

10 5-[1-(biphenyl-4-ylmethyl)-8-methoxy-2-oxo-1,2,3,4-tetrahydroquinolin-5-ylmethyl]thiazolidine-2,4-dione,  
 5-[1-(4-chlorobenzyl)-8-methoxy-2-oxo-1,2,3,4-tetrahydroquinolin-5-ylmethyl]thiazolidine-2,4-dione,  
 5-[1-(4-bromobenzyl)-8-methoxy-2-oxo-1,2,3,4-tetrahydroquinolin-5-ylmethyl]thiazolidine-2,4-dione,  
 15 5-[1-(2-naphthylmethyl)-8-methoxy-2-oxo-1,2,3,4-tetrahydroquinolin-5-ylmethyl]thiazolidine-2,4-dione,  
 5-{1-[4-(heptyloxycarbonylamino)benzyl]-8-methoxy-2-oxo-1,2,3,4-tetrahydroquinolin-5-ylmethyl}thiazolidine-2,4-dione,  
 20 5-[1-(1-biphenyl-4-ylpiperidin-4-ylmethyl)-2-oxo-1,2,3,4-tetrahydroquinolin-5-ylmethyl]thiazolidine-2,4-dione,  
 5-{1-[1-(4-methylphenyl)piperidin-4-ylmethyl]-2-oxo-1,2,3,4-tetrahydroquinolin-5-ylmethyl}thiazolidine-2,4-dione,  
 5-{1-[4-(2-chlorobenzyloxycarbonylamino)benzyl]-8-methoxy-2-oxo-1,2,3,4-tetrahydroquinolin-5-ylmethyl}thiazolidine-2,4-dione,  
 25 1-(biphenyl-4-ylmethyl)-8-methoxy-5-(4-oxo-2-thioxothiazolidin-5-ylmethyl)-3,4-dihydro-1H-guinolin-2-one,  
 8-methoxy-1-methyl-5-(4-oxo-2-thioxothiazolidin-5-ylmethyl)-3,4-dihydro-1H-guinolin-2-one,  
 30 8-methoxy-1-(3-methylbutyl)-5-(4-oxo-2-thioxothiazolidin-5-ylmethyl)-3,4-dihydro-1H-guinolin-2-one,  
 1-propyl-8-methoxy-5-(4-oxo-2-thioxothiazolidin-5-ylmethyl)-3,4-dihydro-1H-guinolin-2-one,  
 35 1-isobutyl-8-methoxy-5-(4-oxo-2-thioxothiazolidin-5-



-458-

ylmethyl)-3,4-dihydro-1H-quinolin-2-one,  
8-methoxy-1-phenethyl-5-(4-oxo-2-thioxothiazolidin-5-  
ylmethyl)-3,4-dihydro-1H-quinolin-2-one, and  
1-(4-phenylthiomethyl)benzyl-5-(4-oxo-2-thioxothiazolidin-5-  
5 ylmethyl)-3,4-dihydro-1H-quinolin-2-one; or a salt thereof.

26. A pharmaceutical composition comprising as an active ingredient a carbostyryl compound or salt thereof according to Claim 1.

27. A prophylactic and/or therapeutic agent for a  
10 disorder on which TFF up-regulation has a prophylactic and/or therapeutic effect, comprising as an active ingredient a carbostyryl compound or salt thereof according to Claim 1.

28. A prophylactic and/or therapeutic agent according to Claim 27, wherein the disorder on which TFF up-  
15 regulation has a prophylactic and/or therapeutic effect is an alimentary tract disease, oral disease, upper respiratory tract disease, respiratory tract disease, eye disease, cancer, or wound.

29. A prophylactic and/or therapeutic agent  
20 according to Claim 27, wherein the disorder on which TFF up-regulation has a prophylactic and/or therapeutic effect is a drug-induced ulcer, peptic gastric ulcer, ulcerative colitis, Crohn's disease, drug-induced enteritis, ischemic colitis, irritable bowel syndrome, ulcer developed after endoscopic  
25 demucosation, acute gastritis, chronic gastritis, reflux esophagitis, esophageal ulcer, Barrett esophagus, gastrointestinal mucositis, hemorrhoidal diseases, stomatitis, Sjogren syndrome, xerostomia, rhinitis, pharyngitis, bronchial asthma, chronic obstructive lung disease, dry eye,  
30 or keratoconjunctivitis.

30. A prophylactic and/or therapeutic agent according to Claim 27, wherein the TFF is TFF2.

31. A use of a carbostyryl compound or salt thereof according to Claim 1 for manufacturing a prophylactic and/or  
35 therapeutic agent for a disorder on which TFF up-regulation

-459-

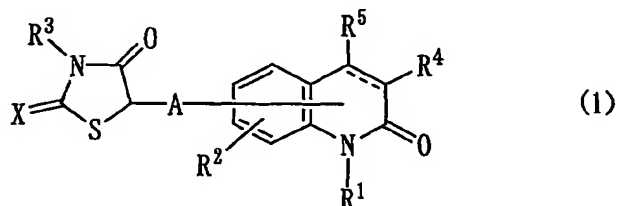
has a prophylactic and/or therapeutic effect.

32. A method for preventing and/or treating a disorder on which TFF up-regulation has a prophylactic and/or therapeutic effect, comprising administering to a patient an effective amount of a carbostyryl compound or salt thereof according to Claim 1.

33. A prophylactic and/or therapeutic agent for alimentary tract diseases, oral diseases, upper respiratory tract diseases, respiratory tract diseases, eye diseases, cancers, or wounds, the agent comprising a compound that induces the production of TFF.

34. A prophylactic and/or therapeutic agent according to Claim 33, wherein the TFF is TFF2.

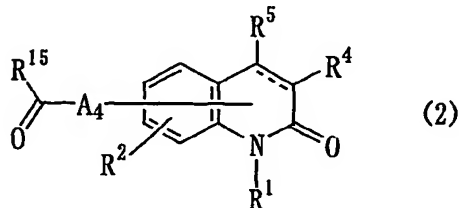
35. A process for the production of a carbostyryl compound (1) of the following formula:



or a salt thereof, wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ , A, X, and the bond between the 3 and 4 positions of the carbostyryl skeleton are as defined in Claim 1,

which comprises

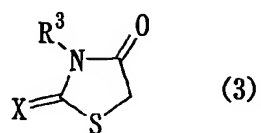
(i) reacting a compound (2) of the formula:



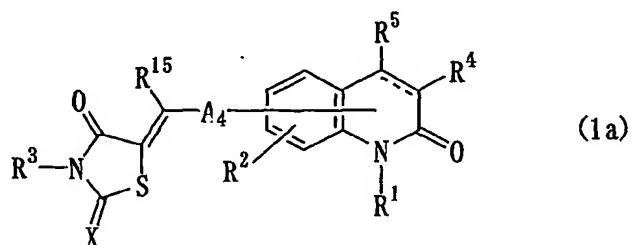
or a salt thereof, wherein  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^5$ , and the bond between the 3 and 4 positions of the carbostyryl skeleton are as defined above, and  $R^{15}$  is a hydrogen atom or lower alkyl group, and  $A_4$  represents a direct bond or lower alkylene group.

-460-

with a compound (3) of the formula:



or a salt thereof, wherein R<sup>3</sup> and X are as defined above, to give a compound (Ia) of the formula:

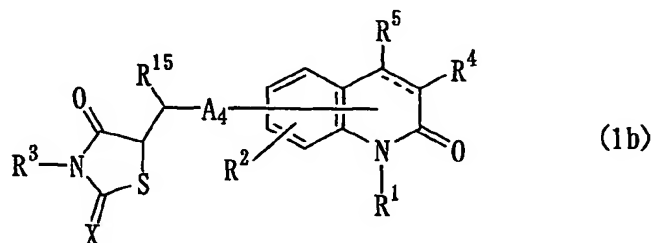


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or a salt thereof, wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>15</sup>, A<sub>4</sub> and the bond between the 3 and 4 positions of the carbostyryl skeleton are as defined above, and

(ii) reducing the compound (Ia) defined above or a salt thereof, to give a compound (Ib) of the formula:

10



or a salt thereof, wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>15</sup>, A<sub>4</sub> and the bond between the 3 and 4 positions of the carbostyryl skeleton are as defined above.

15